

537,115

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
17 June 2004 (17.06.2004)

PCT

(10) International Publication Number
WO 2004/050637 A2

- (51) International Patent Classification⁷: **C07D 235/00**
- (21) International Application Number:
PCT/US2003/038635
- (22) International Filing Date: 3 December 2003 (03.12.2003)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
60/430,981 3 December 2002 (03.12.2002) US
- (71) Applicant (for all designated States except US): **AXYS PHARMACEUTICALS, INC.** [US/US]; 180 Kimball Way, So. San Francisco, CA 94080 (US).
- (72) Inventors; and
(75) Inventors/Applicants (for US only): **KOLESNIKOV, Aleksandr** [US/US]; 1474 46th Avenue, San Francisco, CA 94122 (US). **RAI, Roopa** [US/US]; 237 Clifton Avenue, San Carlos, CA 94070 (US). **SHRADER, William, Dvorak** [US/US]; 2018 Arbor Avenue, Belmont, CA 94402 (US). **TORKELSON, Steven, M.** [US/US]; 193 Laurie Meadows Drive, #167, San Mateo, CA 94403 (US). **WESSON, Kieron, E.** [IE/US]; 2 Townsend Street, #1-803, San Francisco, CA 94114 (US). **YOUNG, Wendy, B.** [US/US]; 632 Alhambra Road, San Mateo, CA 94402 (US).
- (74) Agents: **MONTGOMERY, Wayne, W. et al.**; Celera, 180 Kimball Way, So. San Francisco, CA 94080 (US).
- (81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (*regional*): ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Declaration under Rule 4.17:**
— of inventorship (Rule 4.17(iv)) for US only
- Published:**
— without international search report and to be republished upon receipt of that report
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: 2-(2-HYDROXYBIPHENYL-3-YL)-1H-BENZOIMIDAZOLE-5-CARBOXAMIDINE DERIVATIVES AS FACTOR VIIA INHIBITORS

(57) Abstract: The present invention relates to novel inhibitors of Factors VIIa, IXa, Xa, XIa, in particular Factor VIIa, pharmaceutical compositions comprising these inhibitors, and methods for using these inhibitors for treating or preventing thromboembolic disorders, cancer or rheumatoid arthritis. Processes for preparing these inhibitors are also disclosed.

WO 2004/050637 A2